# CONTENTS

## No. 1

U. HILLGREN and I. NAHRINGBAUER: Mass transfer across liquid-liquid interfaces. Part VI. Distribution rate of lidocaine in the presence of a non-ionic surfactant ..... 1

U. HILLGREN and I. NAHRINGBAUER: Mass transfer across liquid-liquid interfaces. Part VII. Distribution rate of chlorpromazine, a highly surface-active base ..... 13

K. GUSTAVII and K. EKSTRAND-ASKER: Stability of clomethiazole in aqueous solutions ..... 21

P. KRATOCHVIL and L.-O. SUNDELÖF: Interactions in polymer solutions 31

G.-I. TAPPER and N.-O. LINDBERG: The granulation of some lactose qualities with different particle size distributions in a domestic-type mixer ..... 47

S. ÖZKIRIMLI, D. A. CROWAN and A. H. BECKETT: *In vitro* metabolism of desmethyltrimipramine to yield hydroxylamino compound ..... 57

G. ALDERBORN: Studies on the importance and characterization of particle fragmentation during tabletting ..... 60

A. KOMAN: Development of opioid receptor probes ..... 61

A. FURÄNGEN: Extractive alkylation of carboxylic acids studied by use of different kinetic systems ..... 62

B. LÖFBERG: Tissue specificity of *N*-nitrosamine metabolism ..... 63

## No. 2

N. DAOUD, T. ARVIDSSON and K.-G. WAHLUND: Determination of drugs by direct injection of untreated blood plasma on reversed phase liquid chromatographic columns. Application to some antiepileptic drugs ..... 65

U. HACKSELL, L.-E. ARVIDSSON, A. M. JOHANSSON, J. L. G. NILSSON, D. SANCHEZ, P. LINDBERG, H. WIKSTRÖM, K. SVENSSON, S. HJORTH, A. CARLSSON, A.-L. ASK and S.-O. ÖGREN: Indirect central 5-hydroxytryptaminergic actions of methoxy substituted 2-aminotetralin derivatives ..... 77

L. ANDERSSON, G. LIDGREN, L. BOHLIN, P. PISA, H. WIGZELL and R. KIESSLING: Studies of Swedish marine organisms. Part V. A screening for lectin-like activity ..... 91

# CONTENTS

## No. 1

U. HILLGREN and I. NAHRINGBAUER: Mass transfer across liquid-liquid interfaces. Part VI. Distribution rate of lidocaine in the presence of a non-ionic surfactant ..... 1

U. HILLGREN and I. NAHRINGBAUER: Mass transfer across liquid-liquid interfaces. Part VII. Distribution rate of chlorpromazine, a highly surface-active base ..... 13

K. GUSTAVII and K. EKSTRAND-ASKER: Stability of clomethiazole in aqueous solutions ..... 21

P. KRATOCHVIL and L.-O. SUNDELÖF: Interactions in polymer solutions 31

G.-I. TAPPER and N.-O. LINDBERG: The granulation of some lactose qualities with different particle size distributions in a domestic-type mixer ..... 47

S. ÖZKIRIMLI, D. A. CROWAN and A. H. BECKETT: *In vitro* metabolism of desmethyltrimipramine to yield hydroxylamino compound ..... 57

G. ALDERBORN: Studies on the importance and characterization of particle fragmentation during tabletting ..... 60

A. KOMAN: Development of opioid receptor probes ..... 61

A. FURÄNGEN: Extractive alkylation of carboxylic acids studied by use of different kinetic systems ..... 62

B. LÖFBERG: Tissue specificity of *N*-nitrosamine metabolism ..... 63

## No. 2

N. DAOUD, T. ARVIDSSON and K.-G. WAHLUND: Determination of drugs by direct injection of untreated blood plasma on reversed phase liquid chromatographic columns. Application to some antiepileptic drugs ..... 65

U. HACKSELL, L.-E. ARVIDSSON, A. M. JOHANSSON, J. L. G. NILSSON, D. SANCHEZ, P. LINDBERG, H. WIKSTRÖM, K. SVENSSON, S. HJORTH, A. CARLSSON, A.-L. ASK and S.-O. ÖGREN: Indirect central 5-hydroxytryptaminergic actions of methoxy substituted 2-aminotetralin derivatives ..... 77

L. ANDERSSON, G. LIDGREN, L. BOHLIN, P. PISA, H. WIGZELL and R. KIESSLING: Studies of Swedish marine organisms. Part V. A screening for lectin-like activity ..... 91

G. KYEREMATEN and F. SANDBERG: Preliminary pharmacological studies of Pecarin®, a new preparation from *Ribes nigrum* fruits ..... 101

M. N. ABOUL-ENEIN and A. A. EL-AZZOUNY: 1-Alkyl-1,4-diazaspiro[4.5]-decane and [5.5]undecane-3,5-diones as analgesics and anticonvulsants ... 107

K. FREDRIKSSON, P. LUNDGREN and L. LANDERSJÖ: Stability of carmustine – kinetics and compatibility during administration ..... 115

P. ARTURSSON: Microspheres as drug carries ..... 125

U. HILLGREN: Mass transfer across liquid-liquid interfaces ..... 126

A. M. WELI: Oxidative and peroxidative metabolism of pargyline and some related α-acetylenic amines ..... 127

M. E. JOHANSSON: Studies in powder technology in relation to compaction and lubrication ..... 128

## No. 3

J.-O. WALTERSSON: Factorial designs in pharmaceutical preformulation studies. Part I. Evaluation of the application of factorial designs to a stability study of drugs in suspension form ..... 129

C. AHLNECK and J.-O. WALTERSSON: Factorial designs in pharmaceutical preformulation studies. Part II. Studies on drug stability and compatibility in the solid state ..... 139

B. RUNESSON and K. GUSTAVII: Stability of parabens in the presence of polyols ..... 151

M. O. VAIZOGLU and P. P. SPEISER: Pharmacosomes – a novel drug delivery system ..... 163

M. ROWLAND: Scheele Lecture. Pharmacokinetics: Concepts, Insights and Applications ..... 173

S. H. JASIM: Chelate-induced changes in metal disposition ..... 191

## No. 4

M. KNECZKE, L. LANDERSJÖ, P. LUNDGREN and C. FÜHRER: *In vitro* release of salicylic acid from two different qualities of white petrolatum ... 193

A. BUUR, H. BUNDGAARD and E. FALCH: Prodrugs of 5-fluorouracil. Part VII. Hydrolysis kinetics and physicochemical properties of *N*-ethoxy- and *N*-phenoxycarbonyloxymethyl derivatives of 5-fluorouracil ..... 205

H. V. van KAMP, G. K. BOLHUIS and C. F. LERK: Studies on tableting properties of lactose. Part V. Effects of both lubrication and addition of disintegrants on properties of tablets prepared from different types of crystalline lactose ..... 217

H. VROMANS, G. K. BOLHUIS, C. F. LERK, K. D. KUSSENDRAGER and H. BOSCH: Studies on tableting properties of lactose. Part VI. Consolidation and compaction of spray dried amorphous lactose ..... 231

B. B. R. GUSTAFSSON and T. NITENBERG: Large-scale synthesis of flumolol, a short-acting beta-blocker ..... 241

A. SUENAGA, Y. IMAMURA and M. OTAGIRI: Determination of pyridinolcarbamate and its metabolites in rabbit plasma and urine by liquid chromatography ..... 245

A. SOKOLOWSKI: Reversed phase ion-pair liquid chromatography ..... 253

G. LUNDKVIST: On the central kinetic role of *C*-nitroso compounds in the autoxidation process of *N*-hydroxyphenylalkylamines ..... 254

S. STRIDH: Inhibitors of viral RNA synthesis as potential anti-influenza agents ..... 255

## No. 5

H. NYQVIST and C. GRAFFNER: Selection of precipitation medium for drug substances as a part of a preformulation program for tablet formulations 257

N. G. STANLEY-WOOD and M. E. JOHANSSON: Variation of adsorption forces with degree of compaction ..... 271

C. LARSEN: Hydrolysis of dextran metronidazole monosuccinate ester prodrugs. Evidence for participation of intramolecularly catalyzed hydrolysis of the conjugate metronidazole-succinic acid ester by the neighbouring dextran hydroxy groups ..... 279

S. TAMMILEHTO, R. PERE and M.-L. HÄMÄLÄINEN: Selective oxidation of thioxanthene derivatives ..... 289

R. B. TAYLOR, D. G. DURHAM and A. S. H. SHIVJI: A stability study of 3,5-diiodoaspirin in aqueous solution ..... 295

O. H. HISHMAT, A. M. M. NASEF, D. S. FARRAG and F. M. ABDEL GALIL: Synthesis and antimicrobial activity of some pyrimidinobenzofuran derivatives ..... 301

S. S. DAVIS: Scheele Lecture. Biopharmaceutical Aspects of Drug Formulation ..... 305

B.-M. EMANUELSSON: Pharmacokinetics of probenecid with emphasis on its induced accumulation of central monoamine metabolites ..... 315

B. LIDSTRÖM-OLSSON: Studies on the modulation of hydroxylase activities in bile acid biosynthesis by intracellular proteins ..... 316
J.-O. WALTERSSON: Methodological studies on the evaluation of drug stability in preformulation work ..... 317
I. NYLANDER: Dynorphin and Substance P pathways in the central nervous system ..... 318
B. HELLMAN: Effects of genotoxic and related compounds on the *in vivo* incorporation of tritiated thymidine into various organs of the mouse ..... 319

## No. 6

### Review Articles

T. FREJD: Protecting groups in organic chemistry ..... 323
T. FREJD: Appendix – Data sheets on protecting groups ..... 335
L.-I. OLSSON: Silicon-based protective groups in organic synthesis ..... 370
T. OLSSON: LHASA A computer program for synthesis design and selection tion of protecting groups ..... 386

### Short Communications on Practical Applications

B. G. PRING and K. PERSSON: Use of the 4-methoxybenzyl group for secondary carbamate protection ..... 404
L.-G. WISTRAND and H. BERNER: Protection of phenols during electrochemical oxidation ..... 406
T. NORBERG and H. LÖNN: Use of protected thioalkyl glycosides as building blocks in oligosaccharide synthesis ..... 408
B. LAMM and M. FRANTSI: Protection of 1,2-diols as cyclic ortho esters ..... 410
B. SAMUELSSON: Mono p-methoxybenzylation of diols ..... 412
T. HÖGBERG: Protection of primary amines as stabase adducts ..... 414
J. R. M. LUNDKVIST and U. HACKSELL: Selective deprotection of a N-benzylidene protected amine ..... 416